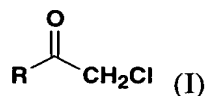


CLAIMS

We claim:

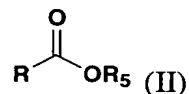
- 5 1. A process for the preparation of  $\alpha$ -chloroketones represented by the formula (I),



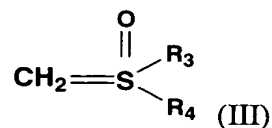
- 10 wherein R is alkyl or substituted alkyl, cycloalkyl or substituted cycloalkyl, aryl or substituted aryl, or heterocycle or substituted heterocycle, provided that said heterocycle is attached to  $-(\text{C}=\text{O})\text{CH}_2\text{Cl}$  in formula (I) at any available ring carbon atom,

comprising

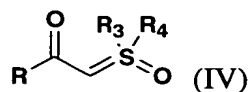
- 15 a) reacting an alkyl ester represented by the formula (II),



- 20 wherein R is as defined above,  $\text{R}_5$  is alkyl or substituted alkyl, with a sulfoxonium ylide represented by the formula (III),



- 25 wherein  $\text{R}_3$  and  $\text{R}_4$  are selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl, to produce a keto sulfoxonium ylide represented by the formula (IV),



wherein R, R<sub>3</sub> and R<sub>4</sub> are as defined as above;

and

5 b) treating said keto sulfoxonium ylide with anhydrous HCl.

2. The process of Claim 1, wherein R is alkyl or substituted alkyl, cycloalkyl or substituted cycloalkyl, aryl or substituted aryl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

10 3. The process of Claim 1, wherein R is alkyl or substituted alkyl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

4. The process of Claim 1, wherein R is aryl or substituted aryl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

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5. The process of Claim 1, wherein R is phenyl or substituted phenyl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

20 6. The process of Claim 1, wherein R<sub>3</sub> and R<sub>4</sub> are each methyl, and R<sub>5</sub> is methyl or ethyl.

7. The process of Claim 6, wherein R is alkyl or substituted alkyl.

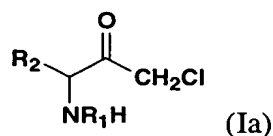
8. The process of Claim 6, wherein R is aryl or substituted aryl.

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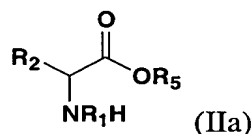
9. The process of Claim 6, wherein R is phenyl or substituted phenyl.

10. The process of Claim 6, wherein R is phenyl.

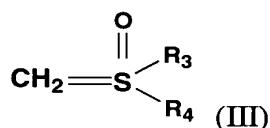
11. The process of Claim 1, additionally including the step of forming said sulfoxonium ylide represented by the formula (III) by the reaction of a sulfoxonium salt with a base in an organic solvent.
- 5 12. The process of Claim 11, wherein said sulfoxonium salt is a trialkyl sulfoxonium halide and said base is potassium tert-butoxide or potassium tert-amylate.
- 10 13. The process of Claim 1, wherein the reaction of said keto sulfoxonium ylide represented by formula (IV) with said anhydrous HCl is carried out in an organic solvent at a temperature of from about 60°C to about 80°C.
- 15 14. A process in accordance with Claim 13, where said solvent is at least one member selected from the group consisting of dimethylformamide, tetrahydrofuran, acetonitrile and toluene.
15. The process of Claim 1, wherein said HCl is generated in situ by reacting a source of chloride and an organic acid.
- 20 16. The process of Claim 15, wherein said source of chloride is lithium chloride.
17. The process of Claim 16, wherein said organic acid is methanesulfonic acid.
- 25 18. The process of Claim 1, wherein the reaction of said alkyl ester represented by formula (II) with said sulfoxonium ylide represented by formula (III) is carried out at a temperature of from about 0°C to about 5°C in an organic solvent.
19. The process of Claim 18, wherein said solvent is tetrahydrofuran.
- 30 20. A process for the preparation of  $\alpha$ -chloroketones represented by the formula (Ia),



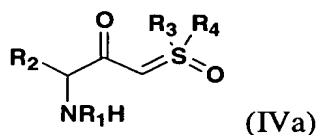
wherein R<sub>1</sub> is a protecting group for the amino function; R<sub>2</sub> is hydrogen, alkyl or substituted alkyl, cycloalkyl or substituted cycloalkyl, aryl or substituted aryl,  
5 heterocycle or substituted heterocycle,  
comprising reacting a methyl ester represented by the formula (IIa),



10        wherein R<sub>1</sub> and R<sub>2</sub> are as defined above, R<sub>5</sub> is alkyl or substituted alkyl,  
with a sulfoxonium ylide represented by the formula (III),



15 wherein R<sub>3</sub> and R<sub>4</sub> are selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl,  
to produce a keto sulfoxonium ylide represented by the formula (IVa),



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wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub> and R<sub>4</sub> are defined as above,  
and treating said keto sulfoxonium ylide with anhydrous HCl.

21. A process of Claim 20, wherein R<sub>2</sub> is hydrogen, alkyl or substituted alkyl, aryl  
25 or substituted aryl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

22. A process of Claim 20, wherein R<sub>1</sub> is tert-butoxycarbonyl (BOC) or benzyloxycarbonyl (CBZ), and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.
23. A process of Claim 20, wherein R<sub>3</sub> and R<sub>4</sub> are each methyl, and R<sub>5</sub> is methyl or ethyl.
24. A process of Claim 20, wherein R<sub>1</sub> is tert-butoxycarbonyl (BOC) or benzyloxycarbonyl (CBZ), and R<sub>2</sub> is hydrogen, alkyl or substituted alkyl, phenyl or substituted phenyl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.
25. A process of Claim 24, wherein R<sub>3</sub> and R<sub>4</sub> are each methyl, and R<sub>5</sub> is methyl or ethyl.
26. A process of Claim 25, wherein R<sub>2</sub> is methyl, iso-propyl, or benzyl.
27. The process of Claim 20, additionally including the step of forming said sulfoxonium ylide represented by the formula (III) by the reaction of a sulfoxonium salt with a base in an organic solvent.
28. The process of Claim 27, wherein said sulfoxonium salt is a trialkyl sulfoxonium halide and said base is potassium tert-butoxide or potassium tert-amylate.
29. The process of Claim 20, wherein the reaction of said keto sulfoxonium ylide represented by formula (IV) with said anhydrous HCl is carried out in an organic solvent at a temperature of from about 60°C to about 80°C.
30. A process in accordance with Claim 29, where said solvent is at least one member selected from the group consisting of dimethylformamide, tetrahydrofuran, acetonitrile and toluene.

31. The process of Claim 20, wherein said HCl is generated in situ by reacting a source of chloride and an organic acid.

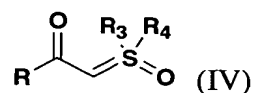
32. The process of Claim 31, wherein said source of chloride is lithium chloride.

33. The process of Claim 32, wherein said organic acid is methanesulfonic acid.

34. The process of Claim 20, wherein the reaction of said alkyl ester represented by formula (II) with said sulfoxonium ylide represented by formula (III) is carried out at a temperature of from about 0°C to about 5°C in an organic solvent.

35. The process of Claim 34, wherein said solvent is tetrahydrofuran.

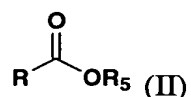
36. A process for the preparation of a keto sulfoxonium ylide represented by the formula (IV),



wherein R is alkyl or substituted alkyl, cycloalkyl or substituted cycloalkyl, aryl or substituted aryl, heterocycle or substituted heterocycle, provided that said heterocycle is attached to  $-(\text{C}=\text{O})$  group in formula (IV) at any available ring carbon atom;

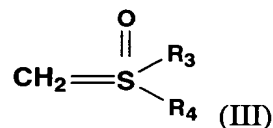
$\text{R}_3$  and  $\text{R}_4$  are selected from the group consisting of alkyl, substituted alkyl, aryl and substituted aryl;

comprising  
reacting an alkyl ester represented by the formula (II),



wherein R is as defined above,  $\text{R}_5$  is alkyl or substituted alkyl,

with a sulfoxonium ylide represented by the formula (III),



5            wherein R<sub>3</sub> and R<sub>4</sub> are as defined above.

37.      The process of Claim 36, wherein R is alkyl or substituted alkyl, cycloalkyl or substituted cycloalkyl, aryl or substituted aryl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

10    38.      The process of Claim 36, wherein R is alkyl or substituted alkyl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

39.      The process of Claim 36, wherein R is aryl or substituted aryl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

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40.      The process of Claim 36, wherein R is phenyl or substituted phenyl, and R<sub>5</sub> is C<sub>1</sub>-C<sub>4</sub> alkyl.

41.      The process of Claim 36, wherein R<sub>3</sub> and R<sub>4</sub> are each methyl, and R<sub>5</sub> is methyl  
20      or ethyl.

42.      The process of Claim 41, wherein R is alkyl or substituted alkyl.

43.      The process of Claim 41, wherein R is aryl or substituted aryl.

25

44.      The process of Claim 41, wherein R is phenyl or substituted phenyl.

45.      The process of Claim 44, wherein R is phenyl.

46. The process of Claim 36, additionally including the step of forming said sulfoxonium ylide represented by the formula (III) by the reaction of a sulfoxonium salt with a base in an organic solvent.
- 5 47. The process of Claim 46, wherein said sulfoxonium salt is a trialkyl sulfoxonium halide and said base is potassium tert-butoxide or potassium tert-amylate.
- 10 48. The process of Claim 36, wherein said HCl is generated in situ by reacting a source of chloride and an organic acid.
49. The process of Claim 48, wherein said source of chloride is lithium chloride.
- 15 50. The process of Claim 49, wherein said organic acid is methanesulfonic acid.